

AstraZeneca Pharmaceuticals LP

Docket No. Z70402-1/US

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IN THE UNITED STATES PATENT & TRADEMARK OFFICE

Application of:	BERNSTEIN ET AL.	
Application Number:	Not assigned yet	Group Art Unit: Not assigned yet
Filed:	30 March 2001	Examiner: Not assigned yet

For: **NAPHTHALENECARBOXAMIDES AS TACHYKININ RECEPTOR
ANTAGONISTS**

Box Patent Application
Assistant Commissioner For Patents
Washington, DC 20231

PRELIMINARY AMENDMENT

Sir:

This is a U.S. National Stage Application of PCT/GB99/03274 . Prior to a first Office Action, please amend the above-identified patent application as follows:

In the Claims

Please CANCEL Claims 8-11.

Please AMEND Claim 7 as follows:

7. (Amended) A compound according to Claim 2 wherein:

R³ is hydrogen, methyl or ethyl;R⁴ is C₁₋₄alkoxy, C₁₋₄alkyl, halogen, haloC₁₋₂alkoxy, haloC₁₋₄alkyl, -CH=CHCH₃,-S(O)_nCH₃, or -OS(O)₂CH₃;R⁵ is cyano, nitrogen, hydrogen or halogen;R⁶ is hydrogen, methoxy, cyano or nitro; and

n is 0, 1 or 2.

Please add NEW Claims 12-17.

12. (New) A compound according to Claim 7 wherein:

R³ is hydrogen, methyl or ethyl;R⁴ is methyl, ethyl, methoxy, ethoxy, hydroxy or fluoro;

R^5 is cyano or nitro; and

R^6 is hydrogen.

13. (New) A compound according to Claim 3, wherein:

R^3 is hydrogen, methyl or ethyl;

R^4 is C_{1-4} alkoxy, C_{1-4} alkyl, halogen, halo C_{1-2} alkoxy, halo C_{1-4} alkyl, $-CH=CHCH_3$, $-S(O)_nCH_3$, or $-OS(O)_2CH_3$;

R^5 is cyano, nitrogen, hydrogen or halogen;

R^6 is hydrogen, methoxy, cyano or nitro; and

n is 0, 1 or 2.

14. (New) A compound according to Claim 9 wherein:

R^3 is hydrogen, methyl or ethyl;

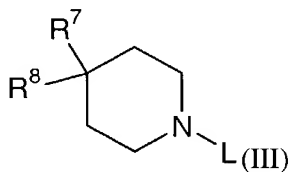
R^4 is methyl, ethyl, methoxy, ethoxy, hydroxy or fluoro;

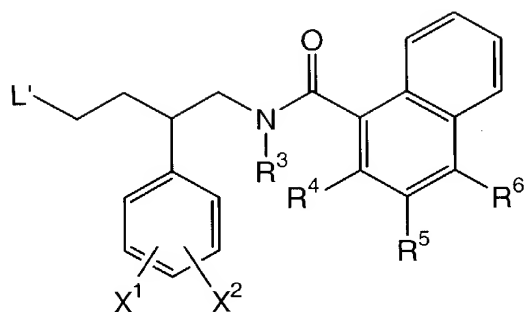
R^5 is cyano or nitro; and

R^6 is hydrogen.

15. (New) A process for preparing a compound according to Claim 3 which process comprises the step of:

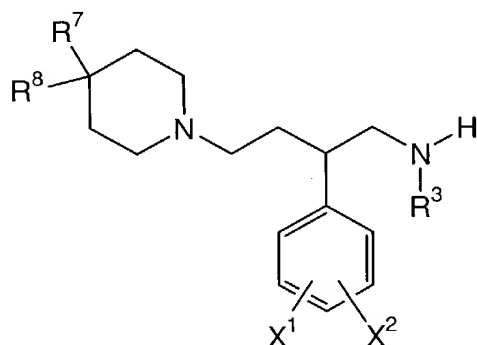
reacting a compound of the formula (III) with a compound of the formula (IV) under reductive amination conditions:



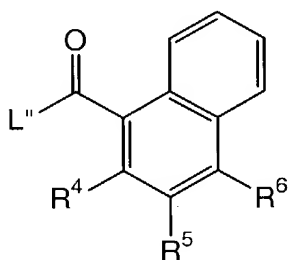


(IV)

wherein R^3 through R^8 , X^1 and X^2 are as in Claim 3; and L and L' are groups such that reductive amination of the compounds of the formulae (III) and (IV) forms a N-C bond; or reacting a compound of the formula (V) with a compound of the formula (VI):



(V)



(VI)

wherein R^3 through R^8 , X^1 and X^2 are as defined in Claim 3; and L'' is a leaving group.

16. (New) A pharmaceutical composition comprising a compound according to any one of Claims 1-8 and 12-14.

17. (New) A method of treating depression, anxiety, asthma, rheumatoid arthritis, Alzheimer's disease, cancer, schizophrenia, oedema, allergic rhinitis, inflammation, pain, gastrointestinal-hypermotility, anxiety, emesis, Huntington's disease, psychoses including depression, hypertension, migraine, bladder hypermotility, or urticaria comprising administering an effective amount of an NK1 antagonist according to any one of Claims 1-8 and 12-14.